

# EUROPEAN PATENT OFFICE

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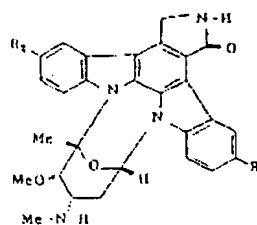
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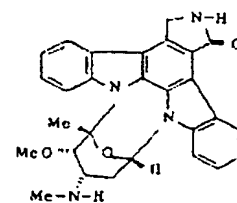
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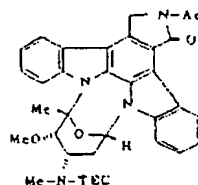
TITLE : STAUROSPORINE DERIVATIVE



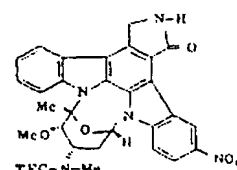
I



II



III



IV

ABSTRACT : NEW MATERIAL: A compound expressed by formula I ( $R_1$  and  $R_2$  are H, amino, OH or hydroxymethyl, provided that both  $R_1$  and  $R_2$  are not H).

EXAMPLE: 3-Aminostaurosporine.

USE: A blood platelet agglutination inhibitor.

PREPARATION: The methylamino group at the 4'-N-position of a staurosporine expressed by formula II is protected to provide 4'-N-( $\beta,\beta,\beta$ -trichloroethoxycarbonyl)staurosporine, which is then reacted with acetic anhydride to afford an acetyl derivative expressed by formula III (TEC is  $\beta,\beta,\beta$ -trichloroethoxycarbonyl). The resultant derivative is subsequently reacted with nitronium trifluorosulfonate to provide a mononitro derivative, which is then deacetylated to afford a compound expressed by formula IV. The obtained compound expressed by formula IV is subsequently reacted with zinc dust and dilute hydrochloric acid to provide the compound expressed by formula I (group  $R_1$  is amino group and group  $R_2$  is H).

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